

Radioiodination Reactions For Pharmaceuticals

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INTRODUCTION.- - IODINE RADIONUCLIDES. Physical properties and areas of application. Radiochemical and radionuclidic purity. Specific radioactivity. Production of iodine radionuclides. Production of ^{120}I . Production of ^{122}I . Production of ^{123}I . Production of ^{124}I . Production of ^{125}I . Production of ^{131}I . References.- - IODINATED RADIOPHARMACEUTICALS. Physico-chemical properties. Carbon-iodine bond. Bond distances. Energy, stability. Steric hindrance and electronic density. Lipophilicity. Pharmaceutical properties. Chemical and radiochemical purity. Stability in vitro and shelf-life. Pharmacological characteristics. Pharmaceutical considerations. References.-- METHODS OF RADIOIODINATION. References. Nucleophilic substitution. General. Halogen exchange in aliphatic compounds. Isotopic exchange. Radioiodine-for-bromine exchange. Halogen exchange in aromatic compounds. Isotopic exchange. Radioiodine-for-bromine or -chlorine exchange. Copper assisted halogen exchange. Cu(I) assisted radioiodination. Cu(II) assisted radioiodination. Radioiodo-dediazonization. References. Electrophilic substitution. General considerations. Oxidising reagents. Iodine monohalide (ICl , IF). N-Chloroamides. Iodogen N-Halosuccinimides. Peracids. Other oxidants. Direct electrophilic radioiodination (radioiodo- deprotonation). Demetallation techniques (radioiodo-demetallation). Radioiodo-deboronation. Radioiodo-demetallation with group IV metals. Radioiodo-demetallation with mercury and thallium. References. Macromolecule labelling. Protein radioiodination. Direct radioiodination of proteins. Indirect radioiodination. Amine-reactive conjugates. Sulfhydryl-reactive conjugates. Carbohydrate-reactive conjugates. Nonspecific conjugates. Oligonucleotide radioiodination. References.- - IODINATED RADIOPHARMACEUTICALS. Examples of nucleophilic labelling. Interhalogen exchange. Meta-iodobenzylguanidine (MIBG). RO 43-0463. Benzamides. Iomazenil. Other methods. IQNB. Iodoethylspiperone. Examples of electrophilic labelling. Direct iodination. Iodo-lisuride,- 3-Iodo-alpha-methyl-L-tyrosine (IMT),- IBZM. Radioiodo-demetallation. Fatty acid. Epidepride. beta-CIT. PE2I. Succinimide activated para-iodobenzoic acid. Iomazenil. MIBG. References.-- EXPERT SYSTEM. General considerations. Activating effects of aromatic substituents. Aromatic nucleophilic substitution ($\text{S}_{\text{N}}\text{Ar}$). Aromatic electrophilic substitution ($\text{S}_{\text{E}}\text{Ar}$). Guidelines for radioiodination. Introduction. Examples.-

- COMPOUND: R91150. COMPOUND: IBZM.- - Blank check-list. EAN/ISBN : 9781402045615

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